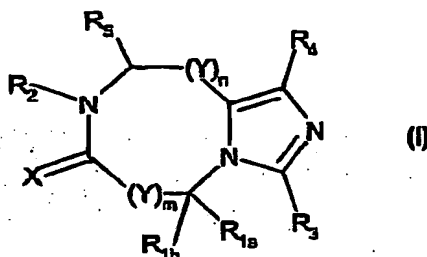


- 88 -

What is claimed is:

1. A compound of formula I



wherein

X is oxygen or H<sub>2</sub>;

Y is -CRR'- in which

R and R' are independently hydrogen, optionally substituted alkyl, aralkyl or heteroaralkyl;

R<sub>1a</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclyl or heteroaralkyl provided that R<sub>1a</sub> is not 9H-carbazol-2-yl when R<sub>2</sub> is methyl, m is zero or an integer of 1, n is zero, X is H<sub>2</sub>, and R<sub>1b</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are hydrogen;

R<sub>1b</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, aryl or heteroaryl;

R<sub>2</sub> is R<sub>6</sub>-(CHR<sub>7</sub>)<sub>p</sub>- in which

R<sub>6</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl;

R<sub>7</sub> is hydrogen, optionally substituted alkyl, aryl, heteroaryl or aralkyl;

p is zero or an integer from 1 to 4;

R<sub>3</sub> and R<sub>4</sub> are independently hydrogen, halogen, optionally substituted alkyl, aryl or heteroaryl; or

R<sub>4</sub>-C may be replaced by nitrogen;

R<sub>5</sub> is hydrogen, optionally substituted alkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

m and n are independently zero or an integer of 1 provided that the sum of m and n is not 2;

ART 34 AMDT

- 89 -

with proviso that when  $R_3, R_4, R_6, R, R', R_{1b}$  and  $R_{1a}$  are hydrogen,  $X$  is  $H_2$ ,  $m=0$  and  $n=1$ , then  $R_2$  is not  $-CH_2-CH=CMe_2$  or is not  $-CH_2-Ph$ ; or when  $m=1$  and  $n=0$ ,  $R_{1a}, R_{1b}, R, R'$ , and  $R_5$  are hydrogen,  $X$  is  $H_2$ ,  $R_2$  is  $CH_3$ , then  $R_3$  is not hydrogen when  $R_4$  is hydrogen or  $R_3$  is not  $Me$  when  $R_4$  is  $i-Pro$ , or  $R_3$  is not  $n-Pr$  when  $R_4$  is  $Et$  or  $R_3$  is not  $i-Pr$  when  $R_4$  is  $Et$  or  $R_3$  is not  $i-Pr$  when  $R_4$  is  $n-Pr$ , or  $R_3$  is not  $i-Pr$  when  $R_4$  is  $i-Pr$ ; or when  $m=0$  and  $n=0$  or  $m=1$  and  $n=0$ ,  $R_6, R_4, R_3, R$  and  $R'$  are hydrogen,  $R_2$  is  $CH_3$ ,  $X$  is  $H_2$ ,  $R_{1a}$  is hydrogen,  $R_{1b}$  is not carbazoyl; or when  $m=0$  and  $n=0$ ,  $R_6, R_4, R_3, R$  and  $R'$  are hydrogen,  $R_2$  is  $CH_3$ ,  $X$  is  $H_2$ ,  $R_{1a}$  is hydrogen,  $R_{1b}$  is not fluorenyl; or when  $n=0$  and  $m=1$ ,  $R_{1b}, R_{1a}, R, R', R_3$  and  $R_4$  are hydrogen,  $R_2$  is  $CH_3$ ,  $X$  is  $H_2$ ,  $R_6$  is not carbazoyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

2. A compound according to claim 1 wherein

$Y$  is  $-CRR'-$  in which  $R$  and  $R'$  are hydrogen;

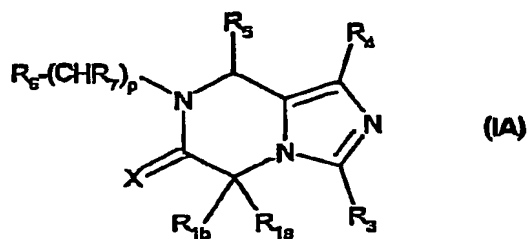
or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

3. A compound according to claim 2 wherein

$m$  and  $n$  are zero;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

4. A compound according to claim 3 of formula IA



wherein

$X$  is oxygen or  $H_2$ ;

ART 34 AMDT

- 90 -

$R_{1a}$  is lower alkyl, aryl or heteroaryl provided that  $R_{1a}$  is not 9H-carbazol-2-yl when  $R_8$  is methyl,  $p$  is zero,  $X$  is  $H_2$ , and  $R_{1b}$ ,  $R_3$ ,  $R_4$  and  $R_5$  are hydrogen;

$R_{1b}$  is hydrogen, lower alkyl, aralkyl or heteroaralkyl;

$R_8$  is cycloalkyl, aryl or heteroaryl;

$R_7$  is hydrogen or lower alkyl;

$p$  is zero or an integer of 1 or 2;

$R_3$ ,  $R_4$  and  $R_5$  are hydrogen;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

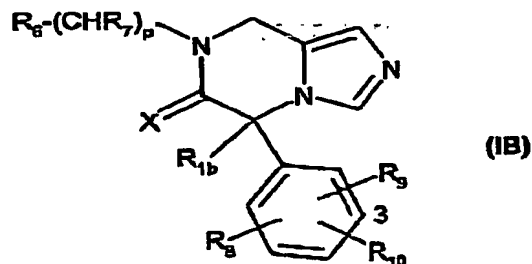
5. A compound according to claim 4 wherein

$R_{1a}$  is monocyclic aryl;

$R_{1b}$  is hydrogen, lower alkyl or aralkyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

6. A compound according to claim 5 of formula IB



wherein

$X$  is oxygen or  $H_2$ ;

$R_{1b}$  is hydrogen, lower alkyl or aralkyl;

$R_8$  is cycloalkyl, aryl or heteroaryl;

$R_7$  is hydrogen or lower alkyl;

$p$  is zero or an integer of 1 or 2;

ART 34 AUDIT

- 91 -

$R_8$ ,  $R_9$  and  $R_{10}$  are independently hydrogen, hydroxy, halogen, cyano, nitro, trifluoromethyl, optionally substituted alkyl, cycloalkyl, optionally substituted amino, alkoxy, alkylthio, carboxy, sulfonyl, carbamoyl, aryl, aryloxy, arylthio or heterocyclyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

7. A compound according to claim 6 of wherein

X is oxygen or  $H_2$ ;

$R_{1b}$  is hydrogen, lower alkyl or aralkyl;

$R_6$  is cycloalkyl, aryl or heteroaryl;

$R_7$  is hydrogen or lower alkyl;

p is an integer of 1;

$R_8$  is hydrogen;

$R_9$  is hydrogen, halogen, cyano or trifluoromethyl;

$R_{10}$  is halogen, cyano or trifluoromethyl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

8. A compound according to claim 7 wherein

X is oxygen;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

9. A compound according to claim 7 wherein

$R_8$  is  $C_{3-6}$ cycloalkyl, monocyclic aryl or monocyclic heteroaryl;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

10. A compound according to claim 7 wherein

$R_{10}$  is located at the 3-position;

ART 34 AWDT

- 92 -

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

11. A compound according to claim 1 which is selected from:

- 4-(7-Cyclopropylmethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(7-Methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(7-Benzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(7-Allyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(6-Oxo-7-propyl-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(7-Isopropyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-[7-[2-(4-Fluoro-phenyl)-ethyl]-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[7-(3-Morpholin-4-yl-propyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 7-(4-Methoxy-benzyl)-5-(4-thiophen-3-yl-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;
- 4-[7-(4-Methyl-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[7-(4-Chloro-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[6-Oxo-7-(4-trifluoromethyl-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[6-Oxo-7-(3-methyl-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[6-Oxo-7-(4-fluoro-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[6-Oxo-7-(3-trifluoromethyl-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-[6-Oxo-7-(3,4-dichloro-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;
- 4-(7-Cyclopropyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(7-Cyclohexyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-(7-Cyclopentyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;
- 4-[7-(2-Methoxyethyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

ART 34 AMDT

Case 4-32611A/USN

- 93 -

4-[7-(3-Methoxypropyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;  
4-(6-Oxo-7-pyridin-4-ylmethyl-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;  
7-Benzyl-5-phenyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
7-Methyl-5-phenyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-(4-methoxy-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-cyclopropylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
7-Benzyl-5-(4-bromo-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-(4-chloro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-(4-trifluoromethyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-(4-methoxy-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-(4-fluoro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(4-Bromo-phenyl)-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-cyclohexyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(4-methoxy-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-cyclopropylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
7-Benzyl-5-(3-bromo-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(4-methoxy-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(4-chloro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(4-methyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(4-trifluoromethyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(3-trifluoromethyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(3-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
5-(3-Bromo-phenyl)-7-(3-methyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

ART 34 AMDT

1-10-2004

- 94 -

5-(3-Bromo-phenyl)-7-(phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-(4-methoxy-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-(4-chloro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-(3-chloro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-(4-methyl-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-(4-fluoro-phenethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-thiophen-2-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-furan-2-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-thiophen-3-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-furan-3-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-pyridin-3-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-pyridin-2-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-pyridin-4-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 5-(3-Bromo-phenyl)-7-cyclohexylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 4-[5-(3-Bromo-phenyl)-6-oxo-5,6-dihydro-8H-imidazo[1,5-a]pyrazin-7-ylmethyl]-piperidine-1-carboxylic acid t-butyl ester;  
 5-(3-Bromo-phenyl)-7-piperidin-4-ylmethyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (R)-5-(3-Bromo-phenyl)-7-((R)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (S)-5-(3-Bromo-phenyl)-7-((R)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (R)-5-(3-Bromo-phenyl)-7-((S)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (S)-5-(3-Bromo-phenyl)-7-((S)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (R)-5-(4-Bromo-phenyl)-7-((R)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (S)-5-(4-Bromo-phenyl)-7-((R)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (R)-5-(4-Bromo-phenyl)-7-((S)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 (S)-5-(4-Bromo-phenyl)-7-((S)-1-phenyl-ethyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;  
 4-[(R)-6-Oxo-7-((S)-1-phenyl-ethyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

ART 34 AMDT

- 95 -

4-[(S)-6-Oxo-7-((S)-1-phenyl-ethyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

7-Benzyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

7-(4-Methyl-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

7-(4-Fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

3-(7-Benzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

3-[7-(4-Methyl-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

3-[7-(4-Fluoro-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

3-[7-(4-Chloro-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

3-[7-(4-Methoxy-benzyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

3-[7-(4-Fluoro-phenethyl)-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

3-(7-Phenethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

3-(7-Cyclopropylmethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

5-(4'-Chloro-biphenyl-4-yl)-7-(4-methoxy-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

7-(4-Methoxy-benzyl)-5-(4-thiophen-3-yl-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

7-Cyclopropylmethyl-5-(4-thiophen-3-yl-phenyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

7-Benzyl-5-(4'-fluoro-biphenyl-3-yl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

5-Biphenyl-4-yl-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

7-Benzyl-5-biphenyl-3-yl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

Methyl 4-(7-benzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzoate;

4-(7-Benzyl-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

5-(4-Bromo-phenyl)-7-cyclopropylmethyl-5-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

5-(3-Bromo-phenyl)-7-cyclopropylmethyl-5-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

5-(4-Bromo-phenyl)-7-(4-fluoro-benzyl)-5-methyl-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

4-[7-(4-Fluoro-benzyl)-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

ART 34 AMDT



- 96 -

4-[(R)-7-[(S)-1-(4-Fluoro-phenyl)-ethyl]-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

4-[(S)-7-[(S)-1-(4-Fluoro-phenyl)-ethyl]-5-methyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl]-benzonitrile;

5-Benzyl-5-(4-bromo-phenyl)-7-(4-fluoro-benzyl)-7,8-dihydro-imidazo[1,5-a]pyrazin-6-one;

4-(5,7-Dibenzyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

4-(5-Benzyl-7-cyclopropylmethyl-6-oxo-5,6,7,8-tetrahydro-imidazo[1,5-a]pyrazin-5-yl)-benzonitrile;

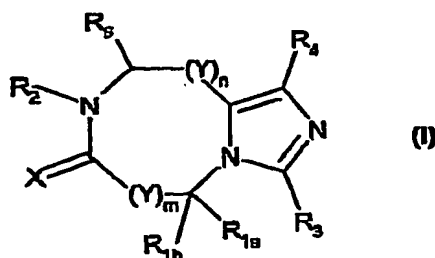
5-(4-Bromophenyl)-7-(4-methoxy-benzyl)-5,6,7,8-tetrahydro-imidazo[1,5-a]-pyrazine;

4-(8-Benzyl-7-oxo-6,7,8,9-tetrahydro-5H-imidazo[1,5-a][1,4]diazepin-5-yl)-benzonitrile; and

4-(8-Cyclopropylmethyl-7-oxo-6,7,8,9-tetrahydro-5H-imidazo[1,5-a][1,4]diazepin-5-yl)-benzonitrile;

or a pharmaceutically acceptable salt thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

12. A method for the inhibition of aldosterone synthase activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of formula I



wherein

X is oxygen or H<sub>2</sub>;

Y is -CRR'- in which

R and R' are independently hydrogen, optionally substituted alkyl, aralkyl or heteroaralkyl;

R<sub>1a</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclyl or heteroaralkyl provided that R<sub>1a</sub> is not 9H-carbazol-2-yl when R<sub>2</sub> is

ART 34 AMDT

- 97 -

methyl, m is zero or an integer of 1, n is zero, X is H<sub>2</sub>, and R<sub>1b</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are hydrogen;

R<sub>1b</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, aryl or heteroaryl;

R<sub>2</sub> is R<sub>6</sub>-(CHR<sub>7</sub>)<sub>p</sub>- in which

R<sub>6</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl;

R<sub>7</sub> is hydrogen, optionally substituted alkyl, aryl, heteroaryl or aralkyl;

p is zero or an integer from 1 to 4;

R<sub>3</sub> and R<sub>4</sub> are independently hydrogen, halogen, optionally substituted alkyl, aryl or heteroaryl; or

R<sub>4</sub>-C may be replaced by nitrogen;

R<sub>5</sub> is hydrogen, optionally substituted alkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

m and n are independently zero or an integer of 1 provided that the sum of m and n is not 2;

or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof.

13. A method for the prevention and/or treatment of conditions associated with aldosterone synthase activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound as defined in claim 12.

14. The method according to claim 13, which method comprises administering said compound in combination with a therapeutically effective amount of anti-obesity agent, anti-hypertensive agent, inotropic agent or hypolipidemic agent.

15. A method for the treatment of hypokalemia, hypertension, congestive heart failure, atherosclerosis, coronary heart diseases and post myocardial infarction, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound as defined in claim 12.

ART 34 AMDT

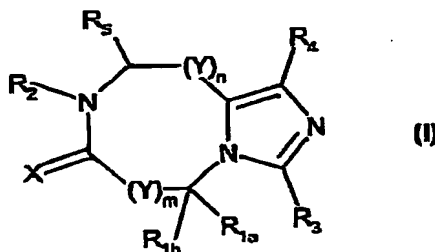
- 98 -

16. A method for the treatment of restenosis, increased formation of collagen, fibrosis, and remodeling following hypertension and endothelial dysfunction, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound as defined in claim 12.

17. A method for the treatment of renal failure and nephropathy, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound as defined in claim 12.

18. A method for the treatment of syndrome X and obesity, which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound as defined in claim 12.

19. Use of a compound of formula I



wherein

X is oxygen or H<sub>2</sub>;

Y is -CRR'- in which

R and R' are independently hydrogen, optionally substituted alkyl, aralkyl or heteroaralkyl;

R<sub>1a</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclyl or heteroaralkyl provided that R<sub>1a</sub> is not 9H-carbazol-2-yl when R<sub>2</sub> is methyl, m is zero or an integer of 1, n is zero, X is H<sub>2</sub>, and R<sub>1b</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are hydrogen;

R<sub>1b</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, aryl or heteroaryl;

R<sub>2</sub> is R<sub>5</sub>-(CHR<sub>7</sub>)<sub>p</sub>- in which

R<sub>6</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl;

R<sub>7</sub> is hydrogen, optionally substituted alkyl, aryl, heteroaryl or aralkyl;

ART 31 AMDT

- 99 -

p is zero or an integer from 1 to 4;

R<sub>3</sub> and R<sub>4</sub> are independently hydrogen, halogen, optionally substituted alkyl, aryl or heteroaryl; or

R<sub>4</sub>-C may be replaced by nitrogen;

R<sub>5</sub> is hydrogen, optionally substituted alkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

m and n are independently zero or an integer of 1 provided that the sum of m and n is not 2; or a pharmaceutically acceptable salt thereof; or a diastereomer thereof; or a mixture of diastereomers thereof; or an optical isomer thereof; or a mixture of optical isomers thereof,

for the preparation of a pharmaceutical composition for the treatment of conditions associated with aldosterone synthase activity.

20. A compound of formula I as defined in claim 19, for use as a medicament, with proviso that when m=0 and n=0 or m=1 and n=0, R<sub>5</sub>, R<sub>4</sub>, R<sub>3</sub>, R and R' are hydrogen, R<sub>2</sub> is CH<sub>3</sub>, X is H<sub>2</sub>, R<sub>1a</sub> is hydrogen, then R<sub>1b</sub> is not carbazoyl; or when m=0 and n=0, R<sub>5</sub>, R<sub>4</sub>, R<sub>3</sub>, R and R' are hydrogen, R<sub>2</sub> is CH<sub>3</sub>, X is H<sub>2</sub>, R<sub>1a</sub> is hydrogen, then R<sub>1b</sub> is not fluorenyl; or when n=0 and m=1, R<sub>1b</sub>, R<sub>1a</sub>, R, R', R<sub>3</sub> and R<sub>4</sub> are hydrogen, R<sub>2</sub> is CH<sub>3</sub>, X is H<sub>2</sub>, then R<sub>5</sub> is not carbazoyl.

21. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula I as defined in claim 19, in combination with one or more pharmaceutically acceptable carriers, with proviso that when m=0 and n=0 or m=1 and n=0, R<sub>5</sub>, R<sub>4</sub>, R<sub>3</sub>, R and R' are hydrogen, R<sub>2</sub> is CH<sub>3</sub>, X is H<sub>2</sub>, R<sub>1a</sub> is hydrogen, then R<sub>1b</sub> is not carbazoyl; or when m=0 and n=0, R<sub>5</sub>, R<sub>4</sub>, R<sub>3</sub>, R and R' are hydrogen, R<sub>2</sub> is CH<sub>3</sub>, X is H<sub>2</sub>, R<sub>1a</sub> is hydrogen, then R<sub>1b</sub> is not fluorenyl; or when n=0 and m=1, R<sub>1b</sub>, R<sub>1a</sub>, R, R', R<sub>3</sub> and R<sub>4</sub> are hydrogen, R<sub>2</sub> is CH<sub>3</sub>, X is H<sub>2</sub>, then R<sub>5</sub> is not carbazoyl.

22. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula I as defined in claim 19 in combination with a therapeutically effective amount of anti-obesity agent, anti-hypertensive agent, inotropic agent or hypolipidemic agent.

23. A pharmaceutical composition according to claim 21 or 22 for the treatment of hypokalemia, hypertension, congestive heart failure, atherosclerosis, coronary heart diseases, post myocardial infarction, restenosis, increased formation of collagen, fibrosis,

ART 34 AMDT

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Case 4-32611A/USN

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- 100 -

remodeling following hypertension and endothelial dysfunction, renal failure, nephropathy, syndrome X and obesity.

24. A pharmaceutical composition according to claim 21 or 22, for use as medicament.

25. Use of a pharmaceutical composition according to claim 22 for the preparation of a medicament for the treatment of conditions associated with aldosterone synthase activity.

26. Use of a pharmaceutical composition comprising a therapeutically effective amount of a compound of formula I as defined in claim 19, in combination with one or more pharmaceutically acceptable carriers, for the preparation of a medicament for the treatment of conditions associated with aldosterone synthase activity.

27. Use according to any one of claims 19 or 25 to 26 wherein the conditions associated with aldosterone synthase activity is selected from hypokalemia, hypertension, congestive heart failure, atherosclerosis, coronary heart diseases, post myocardial infarction, restenosis, increased formation of collagen, fibrosis, remodeling following hypertension and endothelial dysfunction, renal failure, nephropathy, syndrome X and obesity.

ART 34 AMDT

11-08-2004